

# PATENT COOPERATION TREATY

## PCT


### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 09 MAR 2004

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Applicant's or agent's file reference 085747-0330	<b>FOR FURTHER ACTION</b>		See Form PCT/PEA/416
International application No. PCT/US2004/011544	International filing date (day/month/year) 15.04.2004	Priority date (day/month/year) 15.04.2003	
International Patent Classification (IPC) or national classification and IPC C07C311/16, C07D333/68, C07D471/04, C07D213/75, C07D215/12, C07D213/50, C07D241/12, C07D233/54, C07D209/08, C07D413/04, A61K31/145, C07D311/16			
Applicant ASTRAZENECA et al.			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input checked="" type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>			
Date of submission of the demand  24.01.2005		Date of completion of this report  08.03.2005	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer  Fanni, S  Telephone No. +49 89 2399-8712	



**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/US2004/011544

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**Box No. I Basis of the report**

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1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

**Description, Pages**

1-88 as originally filed

**Claims, Numbers**

1-20 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing *(specify)*:
  - ☐ any table(s) related to sequence listing *(specify)*:
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing *(specify)*:
  - ☐ any table(s) related to sequence listing *(specify)*:

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. II Priority**

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1. ☒ This report has been established as if no priority had been claimed due to the failure to furnish within the prescribed time limit the requested:  
☒ copy of the earlier application whose priority has been claimed (Rule 66.7(a)).  
☐ translation of the earlier application whose priority has been claimed (Rule 66.7(b)).
2. ☐ This report has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rule 64.1). Thus for the purposes of this report, the international filing date indicated above is considered to be the relevant date.
3. Additional observations, if necessary:

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**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

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1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
- ☒ claims Nos. 1,2,5, 8-11 (partially)  
because:
- ☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):
- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- ☒ no international search report has been established for the said claims Nos. 1,2,5, 8-11 (partially)
- ☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:
- |                            |  |
|----------------------------|--|
| the written form           | <input type="checkbox"/> has not been furnished            |
|                            | <input type="checkbox"/> does not comply with the standard |
| the computer readable form | <input type="checkbox"/> has not been furnished            |
|                            | <input type="checkbox"/> does not comply with the standard |
- ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.
- ☐ See separate sheet for further details

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	
	No: Claims	3, 6, 7-8
Inventive step (IS)	Yes: Claims	3,6, 7-8
	No: Claims	
Industrial applicability (IA)	Yes: Claims	3,6,7-8
	No: Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

### **ITEM III**

- 1) The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claim(s) is impossible. Consequently, the search has been restricted to subject matter pertaining to compounds of formula I according to present claim 3.

The present opinion is therefore based only on those parts of the claims which have been searched, namely for those parts relating to compound of formula I according to present claim 3. Any further reference in the present opinion to "the present subject matter" should be understood as referring to the searched subject matter.

- 2) Claims 10-11 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT). See also the paragraph on : "Industrial applicability" in item V below.

### **ITEM V**

Reference is made to the following documents:

- D1: DATABASE CHEMCATS CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002300638 retrieved from STN  
D2: EP-A-0 860 428

#### Novelty (Article 33(2) PCT)

The compounds of D1 whose order numbers have been quoted in the search report fall within the scope of present claim 3; said claim is therefore not novel over D1.

The present subject matter differs from D2 on account of the present substituent in meta to the sulphonamido group.

**INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)**

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Inventive step (Article 33(3) PCT)

The present subject matter is directed towards benzosulphonamide derivatives as potentiators of glutamate receptors.

D2 is considered to be the closest prior art and disclose sulphonamide derivatives as modulators of glutamate receptors.

The present subject matter differs from D2 mainly on account of the present group W-Y-C(R<sub>3</sub>R<sub>4</sub>)-C(O)-R, which is not encompassed by the definition of R<sub>2</sub> according to claim 1 of D2.

The problem to be solved by the present application vis-à-vis D2 is considered to be the provision of further sulphonamide derivatives which are potentiators of glutamate receptors.

D2 does not appear to suggest that benzosulphonamide meta-substituted with the present W-Y-C(R<sub>3</sub>R<sub>4</sub>)-C(O)-R group could be a solution for the given problem. Thus, it appears that the skilled person would have not considered the compounds of formula I according to present claim 3 as a solution for the given problem. Accordingly, an inventive step can be acknowledged for the present subject matter.

INDUSTRIAL APPLICABILITY (Article 33(4) PCT)

For the assessment of the present claims 10-11 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.